

## PATENT COOPERATION TREATY

PCT

## NOTIFICATION OF ELECTION

(PCT Rule 61.2)

From the INTERNATIONAL BUREAU

To:

Commissioner  
US Department of Commerce  
United States Patent and Trademark  
Office, PCT  
2011 South Clark Place Room  
CP2/5C24  
Arlington, VA 22202  
ETATS-UNIS D'AMERIQUE

in its capacity as elected Office

<b>Date of mailing (day/month/year)</b> 05 February 2002 (05.02.02)	
<b>International application No.</b> PCT/GB01/02553	<b>Applicant's or agent's file reference</b> 00219/WO
<b>International filing date (day/month/year)</b> 12 June 2001 (12.06.01)	<b>Priority date (day/month/year)</b> 13 June 2000 (13.06.00)
<b>Applicant</b> LIEBESCHUETZ, John, Walter et al	

1. The designated Office is hereby notified of its election made:



in the demand filed with the International Preliminary Examining Authority on:

27 December 2001 (27.12.01)



in a notice effecting later election filed with the International Bureau on:

2. The election ☒ was  
☐ was not

made before the expiration of 19 months from the priority date or, where Rule 32 applies, within the time limit under Rule 32.2(b).

The International Bureau of WIPO  
34, chemin des Colombettes  
1211 Geneva 20, Switzerland

Facsimile No.: (41-22) 740.14.35

Authorized officer

Denise POSPIEZNY

Telephone No.: (41-22) 338.83.38

# PATENT COOPERATION TREATY

## PCT

**COMMUNICATION IN CASES FOR WHICH  
NO OTHER FORM IS APPLICABLE**

From the INTERNATIONAL BUREAU

To:

Commissioner  
US Department of Commerce  
United States Patent and Trademark Office,  
PCT  
2011 South Clark Place Room CP2/5C24  
Arlington, VA 22202  
ETATS-UNIS D'AMERIQUE

Date of mailing ( <i>day/month/year</i> ) 15 February 2002 (15.02.02)	
Applicant's or agent's file reference 00219/WO	<b>REPLY DUE</b> see paragraph 1 below
International application No. PCT/GB01/02553	International filing date ( <i>day/month/year</i> ) 12 June 2001 (12.06.01)
Applicant <div style="text-align: center;">ELI LILLY AND COMPANY</div>	

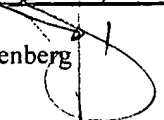
1. ☐ REPLY DUE within \_\_\_\_\_ months/days from the above date of mailing
- ☐ NO REPLY DUE, however, see below
- ☐ IMPORTANT COMMUNICATION
- ☒ INFORMATION ONLY

2. COMMUNICATION:

Following the notification PCT/IB/331 (Notification of Election), mailed 05 February 2002 (05.02.02), the United States Patent and Trademark Office in its capacity as elected Office is herewith notified that said form was sent in error.

The Demand for International Preliminary Examination actually excluded the US from election.

The International Bureau regrets any inconvenience caused.

The International Bureau of WIPO 34, chemin des Colombettes 1211 Geneva 20, Switzerland  Facsimile No. (41-22) 740.14.35	Authorized officer <div style="text-align: center;">Peggy Steunenberg</div> <div style="text-align: center;">  </div> Telephone No. (41-22) 338.83.38
--	---

INTERNATIONAL SEARCH REPORT

(PCT Article 18 and Rules 43 and 44)

Applicant's or agent's file reference <b>00219/W0</b>	<b>FOR FURTHER ACTION</b> see Notification of Transmittal of International Search Report (Form PCT/ISA/220) as well as, where applicable, item 5 below.	
International application No. <b>PCT/GB 01/ 02553</b>	International filing date (day/month/year) <b>12/06/2001</b>	(Earliest) Priority Date (day/month/year) <b>13/06/2000</b>
Applicant  <b>ELI LILLY &amp; COMPANY</b>		

This International Search Report has been prepared by this International Searching Authority and is transmitted to the applicant according to Article 18. A copy is being transmitted to the International Bureau.

This International Search Report consists of a total of 4 sheets.

☒ It is also accompanied by a copy of each prior art document cited in this report.

1. Basis of the report

- a. With regard to the **language**, the international search was carried out on the basis of the international application in the language in which it was filed, unless otherwise indicated under this item.

☐ the international search was carried out on the basis of a translation of the international application furnished to this Authority (Rule 23.1(b)).

- b. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international search was carried out on the basis of the sequence listing :

☐ contained in the international application in written form.

☐ filed together with the international application in computer readable form.

☐ furnished subsequently to this Authority in written form.

☐ furnished subsequently to this Authority in computer readable form.

☐ the statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.

☐ the statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished

2. ☐ **Certain claims were found unsearchable** (See Box I).

3. ☐ **Unity of invention is lacking** (see Box II).

4. With regard to the **title**,

☐ the text is approved as submitted by the applicant.

☒ the text has been established by this Authority to read as follows:

**SERINE PROTEASE INHIBITORS**

5. With regard to the **abstract**,

☒ the text is approved as submitted by the applicant.

☐ the text has been established, according to Rule 38.2(b), by this Authority as it appears in Box III. The applicant may, within one month from the date of mailing of this international search report, submit comments to this Authority.

6. The figure of the **drawings** to be published with the abstract is Figure No.

☐ as suggested by the applicant.

☐ because the applicant failed to suggest a figure.

☐ because this figure better characterizes the invention.

☐ None of the figures.

**FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210**

Continuation of Box I.2

Claims Nos.: 1-22 (partially), 25 (partially), 27-32 (partially)

Present claims 1-22 (partially), 25 (partially) and 27-32 (partially) relate to an extremely large number of possible compounds. Support within the meaning of Article 6 PCT and/or disclosure within the meaning of Article 5 PCT is to be found, however, for only a very small proportion of the compounds claimed. In the present case, the claims so lack support, and the application so lacks disclosure, that a meaningful search over the whole of the claimed scope is impossible. Consequently, the search has been carried out for those parts of the claims which appear to be supported and disclosed, namely those parts relating to the compounds (I) in which

X-X designates C(O)-NH and  
Y = CR<sub>1</sub>b

The applicant's attention is drawn to the fact that claims, or parts of claims, relating to inventions in respect of which no international search report has been established need not be the subject of an international preliminary examination (Rule 66.1(e) PCT). The applicant is advised that the EPO policy when acting as an International Preliminary Examining Authority is normally not to carry out a preliminary examination on matter which has not been searched. This is the case irrespective of whether or not the claims are amended following receipt of the search report or during any Chapter II procedure.

## INTERNATIONAL SEARCH REPORT

International Application No

GB 01/02553

## A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07D295/18 C07D213/38 C07D401/12 C07D401/14 C07D403/12  
 C07D207/14 C07D335/02 C07D309/32 C07D211/58 C07D211/26  
 C07D417/12 C07D409/12 A61P29/00 A61K31/496

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07D A61K A61P

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data, CHEM ABS Data

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 99 11657 A (CREW ANDREW PHILIP AUSTIN ; JONES STUART DONALD (GB); MORGAN PHILLI) 11 March 1999 (1999-03-11) cf. ex. 9-19, 34-51 and 79 page 73 -page 75; claim 1 ---	1-32
P,X	WO 00 76970 A (HARRISON MARTIN JAMES ; JONES STUART DONALD (GB); LYONS AMANDA JANE) 21 December 2000 (2000-12-21) examples 267,272 ---	1-32
P,X	WO 00 76971 A (JONES STUART DONALD ; LYONS AMANDA JANE (GB); MORGAN PHILLIP JOHN ( ) 21 December 2000 (2000-12-21) ex. 104-107, 150-152, 154, 172-173, 268-269, 272-276, 304-310, 312-314, 318-320 page 221, line 10-26 -----	1-33



Further documents are listed in the continuation of box C.



Patent family members are listed in annex.

## \* Special categories of cited documents :

- \*A\* document defining the general state of the art which is not considered to be of particular relevance
- \*E\* earlier document but published on or after the international filing date
- \*L\* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- \*O\* document referring to an oral disclosure, use, exhibition or other means
- \*P\* document published prior to the international filing date but later than the priority date claimed

- \*T\* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- \*X\* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- \*Y\* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- \*G\* document member of the same patent family

Date of the actual completion of the international search

6 September 2001

Date of mailing of the international search report

14/09/2001

Name and mailing address of the ISA

European Patent Office, P.B. 5818 Patentlaan 2  
 NL - 2280 HV Rijswijk  
 Tel. (+31-70) 340-2040, Tx. 31 651 epo nl,  
 Fax: (+31-70) 340-3016

Authorized officer

Diederer, J

# INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

GB 01/02553

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 9911657 A	11-03-1999	AU 8875398 A	22-03-1999
		AU 8875798 A	22-03-1999
		EP 1012166 A	28-06-2000
		EP 1009758 A	21-06-2000
		WO 9911658 A	11-03-1999
		US 6262069 B	17-07-2001
WO 0076970 A	21-12-2000	AU 5413600 A	02-01-2001
		AU 5414000 A	02-01-2001
		AU 5546000 A	02-01-2001
		WO 0077027 A	21-12-2000
		WO 0076971 A	21-12-2000
		WO 0144226 A	21-06-2001
WO 0076971 A	21-12-2000	AU 5413600 A	02-01-2001
		AU 5414000 A	02-01-2001
		AU 5546000 A	02-01-2001
		WO 0077027 A	21-12-2000
		WO 0076970 A	21-12-2000

## INTERNATIONAL SEARCH REPORT

(PCT Article 18 and Rules 43 and 44)

Applicant's or agent's file reference <b>00219/WO</b>	<b>FOR FURTHER ACTION</b> see Notification of Transmittal of International Search Report (Form PCT/ISA/220) as well as, where applicable, item 5 below.	
International application No. <b>PCT/GB 01/ 02553</b>	International filing date (day/month/year) <b>12/06/2001</b>	(Earliest) Priority Date (day/month/year) <b>13/06/2000</b>
Applicant <b>ELI LILLY &amp; COMPANY</b>		

This International Search Report has been prepared by this International Searching Authority and is transmitted to the applicant according to Article 18. A copy is being transmitted to the International Bureau.

This International Search Report consists of a total of 4 sheets.

☒ It is also accompanied by a copy of each prior art document cited in this report.

## 1. Basis of the report

- a. With regard to the **language**, the international search was carried out on the basis of the international application in the language in which it was filed, unless otherwise indicated under this item.

☐ the international search was carried out on the basis of a translation of the international application furnished to this Authority (Rule 23.1(b)).

- b. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international search was carried out on the basis of the sequence listing :

☐ contained in the international application in written form.

☐ filed together with the international application in computer readable form.

☐ furnished subsequently to this Authority in written form.

☐ furnished subsequently to this Authority in computer readable form.

☐ the statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.

☐ the statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished

2. ☐ **Certain claims were found unsearchable** (See Box I).

3. ☐ **Unity of invention is lacking** (see Box II).

4. With regard to the **title**,

☐ the text is approved as submitted by the applicant.

☒ the text has been established by this Authority to read as follows:

**SERINE PROTEASE INHIBITORS**

5. With regard to the **abstract**,

☒ the text is approved as submitted by the applicant.

☐ the text has been established, according to Rule 38.2(b), by this Authority as it appears in Box III. The applicant may, within one month from the date of mailing of this international search report, submit comments to this Authority.

6. The figure of the **drawings** to be published with the abstract is Figure No.

☐ as suggested by the applicant.

☐ because the applicant failed to suggest a figure.

☐ because this figure better characterizes the invention.

☐ None of the figures.

## FURTHER INFORMATION CONTINUED FROM PCT/SA/ 210

Continuation of Box I.2

Claims Nos.: 1-22 (partially), 25 (partially), 27-32 (partially)

Present claims 1-22 (partially), 25 (partially) and 27-32 (partially) relate to an extremely large number of possible compounds. Support within the meaning of Article 6 PCT and/or disclosure within the meaning of Article 5 PCT is to be found, however, for only a very small proportion of the compounds claimed. In the present case, the claims so lack support, and the application so lacks disclosure, that a meaningful search over the whole of the claimed scope is impossible. Consequently, the search has been carried out for those parts of the claims which appear to be supported and disclosed, namely those parts relating to the compounds (I) in which

X-X designates C(O)-NH and  
Y = CR<sub>1b</sub>

The applicant's attention is drawn to the fact that claims, or parts of claims, relating to inventions in respect of which no international search report has been established need not be the subject of an international preliminary examination (Rule 66.1(e) PCT). The applicant is advised that the EPO policy when acting as an International Preliminary Examining Authority is normally not to carry out a preliminary examination on matter which has not been searched. This is the case irrespective of whether or not the claims are amended following receipt of the search report or during any Chapter II procedure.



## INTERNATIONAL SEARCH REPORT

International Application No

GB 01/02553

## A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07D295/18 C07D213/38 C07D401/12 C07D401/14 C07D403/12  
 C07D207/14 C07D335/02 C07D309/32 C07D211/58 C07D211/26  
 C07D417/12 C07D409/12 A61P29/00 A61K31/496

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07D A61K A61P

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data, CHEM ABS Data

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 99 11657 A (CREW ANDREW PHILIP AUSTIN ; JONES STUART DONALD (GB); MORGAN PHILLI) 11 March 1999 (1999-03-11) cf. ex. 9-19, 34-51 and 79 page 73 -page 75; claim 1 ---	1-32
P, X	WO 00 76970 A (HARRISON MARTIN JAMES ; JONES STUART DONALD (GB); LYONS AMANDA JANE) 21 December 2000 (2000-12-21) examples 267, 272 ---	1-32
P, X	WO 00 76971 A (JONES STUART DONALD ; LYONS AMANDA JANE (GB); MORGAN PHILLIP JOHN ()) 21 December 2000 (2000-12-21) ex. 104-107, 150-152, 154, 172-173, 268-269, 272-276, 304-310, 312-314, 318-320 page 221, line 10-26 -----	1-33



Further documents are listed in the continuation of box C.



Patent family members are listed in annex.

## \* Special categories of cited documents :

- \*A\* document defining the general state of the art which is not considered to be of particular relevance
- \*E\* earlier document but published on or after the international filing date
- \*L\* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- \*O\* document referring to an oral disclosure, use, exhibition or other means
- \*P\* document published prior to the international filing date but later than the priority date claimed

- \*T\* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- \*X\* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- \*Y\* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- \*Z\* document member of the same patent family

Date of the actual completion of the international search

6 September 2001

Date of mailing of the international search report

14/09/2001

Name and mailing address of the ISA

European Patent Office, P.B. 5818 Patentlaan 2  
 NL - 2280 HV Rijswijk  
 Tel. (+31-70) 340-2040, Tx. 31 651 epo nl,  
 Fax: (+31-70) 340-3016

Authorized officer

Diederer, J

# INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

5/GB 01/02553

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
WO 9911657	A	11-03-1999	AU 8875398 A	22-03-1999
			AU 8875798 A	22-03-1999
			EP 1012166 A	28-06-2000
			EP 1009758 A	21-06-2000
			WO 9911658 A	11-03-1999
			US 6262069 B	17-07-2001
<hr/>				
WO 0076970	A	21-12-2000	AU 5413600 A	02-01-2001
			AU 5414000 A	02-01-2001
			AU 5546000 A	02-01-2001
			WO 0077027 A	21-12-2000
			WO 0076971 A	21-12-2000
			WO 0144226 A	21-06-2001
<hr/>				
WO 0076971	A	21-12-2000	AU 5413600 A	02-01-2001
			AU 5414000 A	02-01-2001
			AU 5546000 A	02-01-2001
			WO 0077027 A	21-12-2000
			WO 0076970 A	21-12-2000
<hr/>				

(19) World Intellectual Property Organization  
International Bureau



(43) International Publication Date  
20 December 2001 (20.12.2001)

PCT

(10) International Publication Number  
**WO 01/96323 A1**

(51) International Patent Classification<sup>7</sup>: **C07D 295/18**,  
213/38, 401/12, 401/14, 403/12, 207/14, 335/02, 309/32,  
211/58, 211/26, 417/12, 409/12, A61P 29/00, A61K  
31/496

(21) International Application Number: PCT/GB01/02553

(22) International Filing Date: 12 June 2001 (12.06.2001)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:  
PCT/GB00/02302 13 June 2000 (13.06.2000) GB  
0030304.0 13 December 2000 (13.12.2000) GB

(71) Applicant (for all designated States except US): **ELI LILLY AND COMPANY** [US/US]; Lilly Corporate Center, Indianapolis, IN 46285 (US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **LIEBESCHUETZ, John, Walter** [GB/GB]; Laburnum Cottage, 42 Bollington Road, Bollington, Macclesfield, Cheshire SK10 5EJ (GB). **MURRAY, Christopher, William** [GB/GB]; 82 Moat Way, Swavesey, Cambridge CB4 5TR (GB). **YOUNG, Stephen, Clinton** [GB/GB]; 8 Cranbourne Road, Heaton Moor, Stockport SK4 4LD (GB). **CAMP, Nicholas, Paul** [GB/GB]; 10 Cooke Rise, Warfield, Bracknell, Berkshire RG42 2QN (GB). **JONES, Stuart, Donald** [GB/GB]; 17 Oakwood Drive, Prestbury, Macclesfield, Cheshire SK10 4HG (GB). **WYLIE, William, Alexander** [GB/GB]; 68 Drumhoy Drive, Carrickfergus, County Antrim (GB). **MASTERS, John, Joseph** [US/US]; 12047 Flint Stone Court, Fishers, IN 46038 (US). **WILEY, Michael, Robert**

[US/US]; 7725 Langwood Drive, Indianapolis, IN 46268 (US). **SHEEHAN, Scott, Martin** [US/US]; 12485 Windbush Way, Carmel, IN 46033 (US). **ENGEL, David, Birenbaum** [CL/US]; 401 Tulip Tree, Bloomington, IN 47408 (US). **WATSON, Brian, Morgan** [US/US]; 3816 Brian Place, Carmel, IN 46033 (US). **GUZZO, Peter, Robert** [US/US]; 1146 Millington Road, Niskayuna, NY 12309 (US). **MAYER, Michael, John** [US/US]; 116 Essex Circle Apartment E, Gulderland, NY 12084 (US).

(74) Agents: **HAY, Martin, Alexander** et al.; Martin A. Hay & Co., 13 Queen Victoria Street, Macclesfield, Cheshire SK11 6LP (GB).

(81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW.

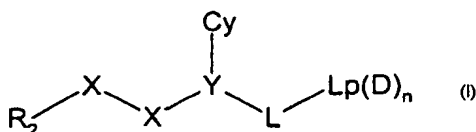
(84) Designated States (regional): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).

Published:

— with international search report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: SERINE PROTEASE INHIBITORS



(57) Abstract: Compounds of formula (I) in which R<sub>2</sub>, X, Y, Cy, L and Lp(D)<sub>n</sub> have the meanings given in the specification, are inhibitors of the serine protease, Factor Xa and are useful in the treatment of cardiovascular disorders.

WO 01/96323 A1

## INTERNATIONAL SEARCH REPORT

International Application No

PC 01/02553

## A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07D295/18 C07D213/38 C07D401/12 C07D401/14 C07D403/12  
C07D207/14 C07D335/02 C07D309/32 C07D211/58 C07D211/26  
C07D417/12 C07D409/12 A61P29/00 A61K31/496

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07D A61K A61P

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data, CHEM ABS Data

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 99 11657 A (CREW ANDREW PHILIP AUSTIN ; JONES STUART DONALD (GB); MORGAN PHILLI) 11 March 1999 (1999-03-11) cf. ex. 9-19, 34-51 and 79 page 73 -page 75; claim 1	1-32
P, X	WO 00 76970 A (HARRISON MARTIN JAMES ; JONES STUART DONALD (GB); LYONS AMANDA JANE) 21 December 2000 (2000-12-21) examples 267, 272	1-32
P, X	WO 00 76971 A (JONES STUART DONALD ; LYONS AMANDA JANE (GB); MORGAN PHILLIP JOHN ()) 21 December 2000 (2000-12-21) ex. 104-107, 150-152, 154, 172-173, 268-269, 272-276, 304-310, 312-314, 318-320 page 221, line 10-26	1-33

☐ Further documents are listed in the continuation of box C.☒ Patent family members are listed in annex.

## \* Special categories of cited documents :

- \*A\* document defining the general state of the art which is not considered to be of particular relevance
- \*E\* earlier document but published on or after the international filing date
- \*L\* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- \*O\* document referring to an oral disclosure, use, exhibition or other means
- \*P\* document published prior to the international filing date but later than the priority date claimed

- \*T\* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- \*X\* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- \*Y\* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- \* & \* document member of the same patent family

Date of the actual completion of the international search

6 September 2001

Date of mailing of the international search report

14/09/2001

Name and mailing address of the ISA

European Patent Office, P.B. 5818 Patentlaan 2  
NL - 2280 HV Rijswijk  
Tel. (+31-70) 340-2040, Tx. 31 651 epo nl,  
Fax: (+31-70) 340-3016

Authorized officer

Diederens, J

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

Continuation of Box I.2

Claims Nos.: 1-22 (partially), 25 (partially), 27-32 (partially)

Present claims 1-22 (partially), 25 (partially) and 27-32 (partially) relate to an extremely large number of possible compounds. Support within the meaning of Article 6 PCT and/or disclosure within the meaning of Article 5 PCT is to be found, however, for only a very small proportion of the compounds claimed. In the present case, the claims so lack support, and the application so lacks disclosure, that a meaningful search over the whole of the claimed scope is impossible. Consequently, the search has been carried out for those parts of the claims which appear to be supported and disclosed, namely those parts relating to the compounds (I) in which

X-X designates C(O)-NH and  
Y = CR<sub>1b</sub>

The applicant's attention is drawn to the fact that claims, or parts of claims, relating to inventions in respect of which no international search report has been established need not be the subject of an international preliminary examination (Rule 66.1(e) PCT). The applicant is advised that the EPO policy when acting as an International Preliminary Examining Authority is normally not to carry out a preliminary examination on matter which has not been searched. This is the case irrespective of whether or not the claims are amended following receipt of the search report or during any Chapter II procedure.

# INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/JP98/01/02553

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
WO 9911657	A	11-03-1999	AU 8875398 A	22-03-1999
			AU 8875798 A	22-03-1999
			EP 1012166 A	28-06-2000
			EP 1009758 A	21-06-2000
			WO 9911658 A	11-03-1999
			US 6262069 B	17-07-2001
WO 0076970	A	21-12-2000	AU 5413600 A	02-01-2001
			AU 5414000 A	02-01-2001
			AU 5546000 A	02-01-2001
			WO 0077027 A	21-12-2000
			WO 0076971 A	21-12-2000
			WO 0144226 A	21-06-2001
WO 0076971	A	21-12-2000	AU 5413600 A	02-01-2001
			AU 5414000 A	02-01-2001
			AU 5546000 A	02-01-2001
			WO 0077027 A	21-12-2000
			WO 0076970 A	21-12-2000